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result set

DB=PGPB; PLUR=YES; OP=OR

L16 libido same (erectile adj dysfunction) 78 L16

L15 L12 0 L15

L14 L13 0 L14

DB=DWPI; PLUR=YES; OP=OR

L13 L12 0 L13

DB=JPAB; PLUR=YES; OP=OR

L12 libido same (erectile adj dysfunction) 0 L12

DB=EPAB; PLUR=YES; OP=OR

L11 libido same (erectile adj dysfunction) 2 L11

DB=USOC,EPAB,JPAB; PLUR=YES; OP=OR

L10 L9 or l8 2 L10

DB=PGPB; PLUR=YES; OP=OR

L9 L8 78 L9

DB=PGPB,USPT,USOC,EPAB; PLUR=YES; OP=OR

L8 L7 not l3 107 L8

L7 libido same (erectile adj dysfunction) 107 L7

<u>L6</u>	libido same (erectile adj dysfunction)	107	<u>L6</u>
<i>DB=DWPI; PLUR=YES; OP=OR</i>			
<u>L5</u>	L4 not l3	4	<u>L5</u>
<u>L4</u>	libido same (erectile)	37	<u>L4</u>
<u>L3</u>	libido same (erectile adj dysfunction)	33	<u>L3</u>
<i>DB=USPT; PLUR=YES; OP=OR</i>			
<u>L2</u>	libido same (erectile adj dysfunction)	27	<u>L2</u>
<u>L1</u>	libido same (erectile dysfunction)	110	<u>L1</u>

END OF SEARCH HISTORY

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 10540-29-1 REGISTRY
CN Ethanamine, 2-[4-[(1Z)-1,2-diphenyl-1-butenyl]phenoxy]-N,N-dimethyl- (9CI)
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethanamine, 2-[4-(1,2-diphenyl-1-butenyl)phenoxy]-N,N-dimethyl-, (Z)-
CN Ethylamine, 2-[p-(1,2-diphenyl-1-butenyl)phenoxy]-N,N-dimethyl-, (Z)-
(8CI)

OTHER NAMES:

CN ICI 47699
CN Mammaton
CN **Tamoxifen**
CN trans-Tamoxifen
CN Z-Tamoxifen
FS STEREOSEARCH
MF C26 H29 N O
CI COM

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOBUSINESS,
BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS,
CHEMINFORMRX, CHEMLIST, CIN, CSCHM, CSNB, DDFU, DIOGENES, DRUGU,
EMBASE, HSDB*, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IPA, MEDLINE,
MRCK*, MSDS-OHS, NIOSHTIC, PHAR, PROMT, PS, RTECS*, SPECINFO, TOXCENTER,
ULIDAT, USAN, USPAT2, USPATFULL, VETU

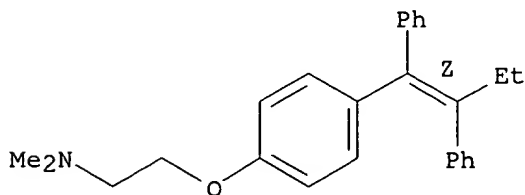
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Other Sources: EINECS**, WHO

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DT.CA Caplus document type: Book; Conference; Dissertation; Journal; Patent
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study);
MSC (Miscellaneous); PREP (Preparation); PROC (Process); PRP
(Properties); RACT (Reactant or reagent); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: ANST (Analytical
study); BIOL (Biological study); PREP (Preparation); PROC (Process); PRP
(Properties); USES (Uses)
RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological
study); CMBI (Combinatorial study); FORM (Formation, nonpreparative);
OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties);
RACT (Reactant or reagent); USES (Uses)
RLD.NP Roles for non-specific derivatives from non-patents: ANST (Analytical
study); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU
(Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT
(Reactant or reagent); USES (Uses)

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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142 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
5601 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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=> s libido (p) (erectile (w) dysfunction)
310 LIBIDO
1314 ERECTILE
6171 DYSFUNCTION
L6 35 LIBIDO (P) (ERECTILE (W) DYSFUNCTION)

=> d l6 1-35 bib,kwic

L6 ANSWER 1 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-389203 [36] WPIDS
DNC C2004-145718
TI Method for increasing testosterone levels in a patient/decreasing sex
hormone binding globulin levels/treatment of sexual dysfunction involves
use of progesterone receptor modulator.
DC B01
IN CHWALISZ, K
PA (CHWA-I) CHWALISZ K; (TAPP-N) TAP PHARM PROD INC
CYC 30
PI US 2004097591 A1 20040520 (200436)* 7
WO 2004045620 A1 20040603 (200436) EN
RW: AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL PT RO
SE SI SK TR
W: CA JP MX
ADT US 2004097591 A1 US 2002-299264 20021118; WO 2004045620 A1 WO 2003-US37182
20031119
PRAI US 2002-299264 20021118
AB . . .
treatment of vaginal vasocongestion, mood changes, energy loss, hot

flushes, depression, osteoporosis, hypogonadism, muscle wasting, anemia, and frailty (all claimed), **libido** and arousal, **erectile dysfunction** and vaginal dryness; for the treatment of conditions associated with decreased levels of testosterone such as andropause.

ADVANTAGE - . . .

L6 ANSWER 2 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-357209 [33] WPIDS
DNC C2004-135648
TI Use of selective androgen receptor modulator to treat an androgen decline in aging male associated conditions e.g. sexual dysfunction, osteoporosis, alterations in cognition and mood, depression and anemia.
DC B05
IN DALTON, J T; MILLER, D D; STEINER, M S; VEVERKA, K A
PA (GTGX-N) GTX INC
CYC 100
PI WO 2004035739 A2 20040429 (200433)* EN 111
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
RO RU SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
ADT WO 2004035739 A2 WO 2003-US32513 20031014
PRAI US 2002-418336P 20021016
AB . . .

receptor agonist; Androgen receptor antagonist.

USE - SARM is useful to treat ADAM-associated conditions (preferably sexual dysfunction, decreased sexual **libido**, **erectile dysfunction**, hypogonadism, sarcopenia, osteopenia, osteoporosis, alterations in cognition and mood, depression, anemia, hair loss, obesity, benign prostate hyperplasia and/or prostate cancer. . .

L6 ANSWER 3 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-202527 [19] WPIDS
CR 2002-315454 [35]; 2004-011461 [01]; 2004-132627 [13]
DNC C2004-079900
TI New N-aryl-propanamide derivatives are selective androgen receptor modulators, useful for the treatment of e.g. cancer and dry eye condition.
DC B02 B05
IN DALTON, J T; MILLER, D D; STEINER, M S; VEVERKA, K A; HE, Y; YIN, D
PA (DALT-I) DALTON J T; (MILL-I) MILLER D D; (STEI-I) STEINER M S; (VEVE-I) VEVERKA K A; (UYTE-N) UNIV TENNESSEE RES FOUND
CYC 100
PI US 2004029913 A1 20040212 (200419)* 29
WO 2004035736 A2 20040429 (200429) EN
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
RO RU SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
ADT US 2004029913 A1 CIP of US 2001-935045 20010823, CIP of US 2002-270732 20021016, US 2003-371213 20030224; WO 2004035736 A2 WO 2003-US32507 20031014
FDT US 2004029913 A1 CIP of US 6569896
PRAI US 2003-371213 20030224; US 2001-935045 20010823;
US 2002-270732 20021016
AB . . .

and hormone related condition (all claimed) e.g. condition associated with androgen decline in aging male such as fatigue, depression, decreased **libido**, sexual dysfunction, **erectile dysfunction**

, hypogonadism, osteoporosis, hair loss, anemia, obesity, sarcopenia, osteopenia, benign prostate hyperplasia, alterations in mood and cognition, endometriosis, acute and/or chronic. . .

L6 ANSWER 4 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-190350 [18] WPIDS
CR 2002-315454 [35]; 2004-011461 [01]; 2004-132627 [13]; 2004-167281 [16];
2004-202527 [19]
DNC C2004-075039
TI Preparation of propanamide derivatives, which are androgen receptor
modulators useful for treating e.g. male contraception and treatment of
chronic muscular wasting involves coupling of amide derivatives with arene
derivatives.
DC B05
IN DALTON, J T; HE, Y; MILLER, D D; YIN, D
PA (DALT-I) DALTON J T; (HEYY-I) HE Y; (MILL-I) MILLER D D; (YIND-I) YIN D
CYC 1
PI US 2004014975 A1 20040122 (200418)* 29
ADT US 2004014975 A1 Provisional US 2000-367355P 20000824, Provisional US
2001-300083P 20010625, CIP of US 2001-935044 20010823, CIP of US
2001-935045 20010823, US 2002-277108 20021022
FDT US 2004014975 A1 CIP of US 6492554, CIP of US 6569896
PRAI US 2002-277108 20021022; US 2000-367355P 20000824;
US 2001-300083P 20010625; US 2001-935044 20010823;
US 2001-935045 20010823
AB . . .
conditions associated with androgen decline in Aging male (ADAM) and
Androgen Decline in Female (ADIF), such as fatigue, depression, decreased
libido, sexual dysfunction, **erectile dysfunction**
, hypogonadism, osteoporosis, hair loss, anemia, obesity, sarcopenia,
osteopenia, osteoporosis, benign prostate hyperplasia, alterations in mood
and cognition or prostate cancer;. . .

L6 ANSWER 5 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-071544 [07] WPIDS
DNC C2004-029594
TI New phenyl amine derivatives useful for treating e.g. prostate cancer,
recurrence of prostate cancer and a dry eye condition.
DC B05
IN DALTON, J T; GAO, W; MARHEFKA, C A; MILLER, D D; DALTON, J
PA (DALT-I) DALTON J T; (GAOW-I) GAO W; (MARH-I) MARHEFKA C A; (MILL-I)
MILLER D D; (UYTE-N) UNIV TENNESSEE RES FOUND
CYC 102
PI WO 2003106401 A1 20031224 (200407)* EN 73
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA
ZM ZW
US 2004067979 A1 20040408 (200426)
ADT WO 2003106401 A1 WO 2003-US16219 20030617; US 2004067979 A1 Provisional US
2002-388739P 20020617, US 2003-462837 20030617
PRAI US 2002-388739P 20020617; US 2003-462837 20030617
AB . . .
(all claimed). The hormone related conditions include conditions
associated with androgen decline in aging male (ADAM) e.g. fatigue,
depression, decreased **libido**, sexual dysfunction,
erectile dysfunction, hypogonadism, osteoporosis, hair
loss, anemia, obesity, sarcopenia, osteopenia, osteoporosis, benign
prostate hyperplasia, alterations in mood and cognition and prostate

cancer; for treating conditions associated with Androgen Decline in Female (ADIF) e.g. decreased sexual **libido**, hypogonadism, sarcopenia, erythropoiesis, osteopenia, osteoporosis, alterations in cognition and mood, depression, anemia, hair loss, obesity, endometriosis, breast cancer, uterine cancer. . .

L6 ANSWER 6 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-042407 [04] WPIDS
DNC C2004-017336
TI Compositions useful for treating male and female sexual dysfunction comprises extracts of Tribulus terrestris, Turnera diffusa and Cinnamon cassia, extract of Ginkgo biloba and optionally an arginine.
DC B04
IN BOMBARDELLI, E; MORAZZONI, P; RIVA, A; SEGHIZZI, R
PA (INDE-N) INDENA SPA
CYC 103
PI WO 2003094943 A1 20031120 (200404)* EN 7
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PH PL
PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU
ZA ZM ZW
AU 2003240478 A1 20031111 (200442)
ADT WO 2003094943 A1 WO 2003-EP4528 20030430; AU 2003240478 A1 AU 2003-240478
20030430
FDT AU 2003240478 A1 Based on WO 2003094943
PRAI IT 2002-MI990 20020510
AB . . .

In the preparation of medicament for the treatment of male and female sexual dysfunction; and for the treatment of impotence, **erectile dysfunction**, **libido** disorder, frigidity and anorgasmia (claimed).

ADVANTAGE - The composition does not cause any significant side effects, is well tolerated,. . .

L6 ANSWER 7 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-012009 [01] WPIDS
DNC C2004-003630
TI Compositions useful for treating e.g. male and female sexual dysfunction comprises extracts of Tribulus terrestris, Epimedium koreanum, and Cinnamon cassia.
DC B04
IN BOMBARDELLI, E; MORAZZONI, P; RIVA, A; SEGHIZZI, R
PA (INDE-N) INDENA SPA
CYC 103
PI WO 2003094944 A1 20031120 (200401)* EN 7
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PH PL
PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU
ZA ZM ZW
AU 2003232248 A1 20031111 (200442)
ADT WO 2003094944 A1 WO 2003-EP4611 20030502; AU 2003232248 A1 AU 2003-232248
20030502
FDT AU 2003232248 A1 Based on WO 2003094944
PRAI IT 2002-MI994 20020510
AB . . .
given.

USE - In the preparation of a medicament for the treatment of male and female sexual dysfunction, impotence, **erectile dysfunction**, **libido** disorder, frigidity, and anorgasmia (claimed).

ADVANTAGE - The composition does not cause any significant side effects, is well tolerated, . . .

L6 ANSWER 8 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-011465 [01] WPIDS
DNC C2004-003174
TI New selective androgen receptor modulator compounds useful for male contraception, prevention and/or treatment of hormone related diseases e.g. prostate cancer, anemia, sarcopenia and dry eye conditions.
DC B03 B05
IN CHUNG, K; DALTON, J; HE, Y; MILLER, D D; STEINER, M S; VEVERKA, K A; DALTON, J T; HWANG, D J; KIRKOVSKY, L I; MUKHERJEE, A
PA (UYTE-N) UNIV TENNESSEE RES CORP; (DALT-I) DALTON J T; (HWAN-I) HWANG D J; (KIRK-I) KIRKOVSKY L I; (MILL-I) MILLER D D; (MUKH-I) MUKHERJEE A; (STEI-I) STEINER M S; (VEVE-I) VEVERKA K A
CYC 102
PI WO 2003074473 A2 20030912 (200401)* EN 104
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW
AU 2003214971 A1 20030916 (200430)
US 2004087810 A1 20040506 (200430)
ADT WO 2003074473 A2 WO 2003-US3121 20030224; AU 2003214971 A1 AU 2003-214971 20030224; US 2004087810 A1 Provisional US 2002-420248P 20021023, US 2003-371209 20030224
FDT AU 2003214971 A1 Based on WO 2003074473
PRAI US 2002-420248P 20021023; US 2002-84679 20020228; US 2003-371209 20030224
AB WO2003074473 A UPAB: 20040102
NOVELTY - Selective androgen receptor modulator (SARM) compounds (I), their analogs, isomers, metabolites, derivatives, salts, products, N-oxides and/or hydrates are new.
DETAILED DESCRIPTION - Selective androgen receptor modulator (SARM) compounds of formula (I), their analogs, isomers, metabolites, derivatives, salts, products, N-oxides and/or hydrates are new.
X = a bond, O, S, CH₂, NH, NR, Se, PR, or NO;
G = O or S;
T = OH, OR, -NHCOCH₃ or NHCOR;
R = alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halo, alkenyl or OH;
R₁ = CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃ or CF₂CF₃;
R₂ = F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂ or SR;
R₃ = F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃; or
R₃+ benzene ring to which it is attached = a fused ring system of formula (i) or formula (ii);
Z' = NO₂, CN, COOH, COR, or CONHR;
Y = CF₃, F, I, Br, Cl, CN or SnR₃;
Q = SCN, NCS, OCN or NCO;
n = 1-4; and
m = 1-3.

INDEPENDENT CLAIMS are also included for

(a) a selective androgen receptor modulator compounds of formula (II) and their analogs, isomers, metabolites, derivatives, salts, products,

N-oxides and/or hydrates;

(b) a selective androgen receptor modulator (SARM) compounds of formula (III) and their analogs, isomers, metabolites, derivatives, salts, products, N-oxides and/or hydrates;

(c) binding a selective androgen receptor modulator compound to an androgen receptor comprising contacting the androgen receptor with the selective androgen receptor modulator compound and/or its analog, derivative, isomer, metabolite, salt, product, hydrate and/or N-oxide to bind the selective androgen receptor modulator compound to the androgen receptor;

(d) preparation of (I);

(e) preparation of (II); and

(f) preparation of (III).

A = a ring selected from formula (iii-ix);

B' = a ring selected from formula (x-xvii);

A and B' = simultaneously not a benzene ring;

Z1 = NO₂, CN, COOH, COR, NHCOR or CONHR;

Y1 = CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q2 = H, alkyl, halo, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR, a group of formula (xviii) or formula (xix);

Q3, Q4 = H, alkyl, halo, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR;

W1 = O, NH, NR, NO or S; and

W2 = N or NO.

ACTIVITY - Antiandrogenic; Antidepressant; Vasotropic; Osteopathic; Antianemic; Anorectic; Cytostatic; Endocrine-Gen.; Gynecological; Ophthalmological; Muscular-Gen; Immunosuppressive.

MECHANISM OF ACTION - Androgen receptor antagonist; Androgen receptor modulator.

USE - SARM's are useful for male contraception; suppressing spermatogenesis; hormone therapy; hormone replacement therapy; treatment of hormone related conditions e.g. conditions associated with androgen decline in aging male (ADAM) and androgen deficiency in female (ADIF) such as fatigue, depression, decreased libido, sexual dysfunction, erectile dysfunction, hypogonadism, osteoporosis, hair loss, anemia, obesity, sarcopenia, osteopenia, osteoporosis, benign prostrate hyperplasia, alterations in mood and cognition and prostrate cancer; preventing and/or treating prostate cancer; delaying the progression of prostate cancer; preventing and/or treating the recurrence of prostate cancer; preventing and/or treating dry eye conditions; inducing apoptosis in a cancer cell; oral androgen replacement therapy; and preventing and/or treating acute and/or chronic muscular wasting conditions (all claimed).

SARM compound of formula (V) (MW 421.39) was tested for its cytotoxicity using different cell lines. IC₅₀ value of DU145 was 74.8 plus or minus 1.2, PC-3 was 59.0 plus or minus 6, TSU was 56.9 plus or minus 5.9, PPC-1 was 58.1 plus or minus 5.9 and LNCaP was 26.0 plus or minus 8.3. The result showed that Compound (V) was highly selective for the AR-expressing LNCaP prostate cancer cell line, compared with other prostate cancer cell lines which do not express the AR and with non-prostate cancer cell lines.

ADVANTAGE - SARM compounds have an androgenic and anabolic activity of a non-steroidal ligand for the androgen receptor hence they are significant than the steroidal ligands and will not be accompanied by serious side effects, high costs and inconvenient modes of administration. SARM have the advantages of oral bioavailability, lack of cross-reactivity with other steroid receptors and long biological half-lives. The process is suitable for large-scale preparation, since all of the steps give rise to highly pure compounds, thus avoiding complicated purification procedures which ultimately lower the yield and are useful for the

synthesis of non-steroidal agonist compounds, that can be used for industrial large-scale synthesis, and that provide highly pure products in high yield.
Dwg.0/7

L6 ANSWER 9 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2004-011461 [01] WPIDS
CR 2002-315454 [35]; 2004-132627 [13]; 2004-202527 [19]
DNC C2004-003170
TI New multi-substituted selective androgen receptor modulator useful for male contraception, prevention and/or treatment of hormone related diseases e.g. prostate cancer, sarcopenia and dry eye conditions.
DC B02 B05
IN DALTON, J T; HE, Y; MILLER, D D; STEINER, M S; VEVERKA, K A; YIN, D
PA (UYTE-N) UNIV TENNESSEE RES CORP
CYC 102
PI WO 2003074449 A2 20030912 (200401)* EN 81
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA
ZM ZW
AU 2003216153 A1 20030916 (200430)
ADT WO 2003074449 A2 WO 2003-US3123 20030224; AU 2003216153 A1 AU 2003-216153
20030224
FDT AU 2003216153 A1 Based on WO 2003074449
PRAI US 2002-423381P 20021104; US 2002-84680 20020228
AB . . .
conditions associated with androgen decline in aging male (ADAM) and androgen deficiency in female (ADIF) such as fatigue, depression, decreased libido, sexual dysfunction, **erectile dysfunction**, hypogonadism, osteoporosis, hair loss, anemia, obesity, sarcopenia, osteopenia, osteoporosis, benign prostrate hyperplasia, alterations in mood and cognition and prostate cancer;. . .

L6 ANSWER 10 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2003-617999 [58] WPIDS
DNC C2003-168535
TI Treatment of a patient suffering from a muscle wasting disorder involves use of a selective androgen receptor modulator (SARM) compound.
DC B05
IN CHEN, J; DALTON, J T; MILLER, D D; STEINER, M S; VEVERKA, K A
PA (GTGXG-N) GTX INC; (DALT-I) DALTON J T; (MILL-I) MILLER D D; (STEI-I) STEINER M S; (VEVE-I) VEVERKA K A
CYC 100
PI WO 2003049675 A2 20030619 (200358)* EN 53
RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU
MC MW MZ NL OA PT SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
RO RU SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
AU 2002364949 A1 20030623 (200420)
US 2004087557 A1 20040506 (200430)
ADT WO 2003049675 A2 WO 2002-US36147 20021205; AU 2002364949 A1 AU 2002-364949
20021205; US 2004087557 A1 Provisional US 2001-336185P 20011206, US
2002-310150 20021205
FDT AU 2002364949 A1 Based on WO 2003049675
PRAI US 2001-336185P 20011206; US 2002-310150 20021205

AB
or alcoholism (all claimed). Also useful for the treatment of hormone-related conditions in males such as sexual dysfunction, decreased sexual **libido**, **erectile dysfunction**, hypogonadism, sarcopenia, osteopenia, osteoporosis, alteration in cognition and mood, depression, anemia, hair loss, obesity, benign prostate hyperplasia, and prostate cancer; and in females for the treatment of sexual dysfunction, decreased sexual **libido**, hypogonadism, sarcopenia, osteopenia, osteoporosis, alteration in cognition and mood, depression, anemia, hair loss, obesity, endometriosis, breast cancer, uterine cancer and. . .

L6 ANSWER 11 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2003-492124 [46] WPIDS
DNC C2003-131618
TI Bringing erection of the penis to an animal involves administering specific peptides.
DC B04
IN MANN, M; MANN, M A
PA (MANN-I) MANN M; (MANN-I) MANN M A
CYC 1
PI US 2003036514 A1 20030220 (200346)* 8
ADT US 2003036514 A1 Provisional US 2001-312358P 20010815, US 2002-198793 20020718
PRAI US 2001-312358P 20010815; US 2002-198793 20020718
AB

produced an erection lasting 4 to 6 hours.
USE - For bringing erection of the penis; for diagnosis of psychogenic **erectile dysfunction** (all claimed).
ADVANTAGE - The method enhances **libido** (either by overcoming psychogenic sexual dysfunction in males or bringing sexual receptivity in females) in animals.
Dwg.0/0

L6 ANSWER 12 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2003-479879 [45] WPIDS
DNC C2003-128327
TI Treating selective serotonin reuptake inhibitor induced sexual dysfunction comprises administering phosphodiesterase type 5 inhibitor.
DC B02 B03
IN HARRISON, W; SIEGEL, R L
PA (HARR-I) HARRISON W; (SIEG-I) SIEGEL R L
CYC 1
PI US 2003055070 A1 20030320 (200345)* 13
ADT US 2003055070 A1 Provisional US 1999-141980P 19990701, Cont of US 2000-602790 20000623, US 2002-79991 20020219
PRAI US 1999-141980P 19990701; US 2000-602790 20000623;
US 2002-79991 20020219
AB

SSRI and PDE5.
ACTIVITY - Nootropic; Tranquilizer; Anabolic; Anorectic; Antidepressant; Vasotropic; Endocrine; Tranquilizer.
In a test, 31 patients with **erectile dysfunction** induced by selective serotonin reuptake inhibitor (SSRI) were treated with sildenafil citrate. Results of erection frequency (test/control) were 3.28 plus. . . ACTION - Phosphodiesterase type 5 (PDE5) inhibitor.
USE - Used for treating SSRI induced sexual dysfunction, particularly anorgasmia, decreased **libido**, delayed ejaculation, delayed orgasm, dyspareunia, **erectile dysfunction**, general sexual dissatisfaction, inability to ejaculate and insufficient vaginal lubrication and for treating serotonergic associated disorders, particularly attention deficit disorder,. . .

L6 ANSWER 13 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2003-278251 [27] WPIDS
 DNC C2003-072610
 TI Method for e.g. treating or preventing menopause disorder e.g. erectile dysfunction, comprises administering combination of sex-hormone binding globulin synthesis inhibiting agent in oral dosage unit, and a steroid in non-oral dosage unit.
 DC A96 B01
 IN VAN DER HOOP, R G
 PA (VHOO-I) VAN DER HOOP R G; (SOLV) SOLVAY PHARM INC
 CYC 101
 PI WO 2003002123 A2 20030109 (200327)* EN 25
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZM ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
 KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
 RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG UZ VN YU ZA ZM ZW
 US 2003027804 A1 20030206 (200327)
 EP 1404343 A2 20040407 (200425) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
 RO SE SI TR
 ADT WO 2003002123 A2 WO 2002-US20141 20020626; US 2003027804 A1 US 2001-892981
 20010627; EP 1404343 A2 EP 2002-746682 20020626, WO 2002-US20141 20020626
 FDT EP 1404343 A2 Based on WO 2003002123
 PRAI US 2001-892981 20010627
 AB . . .

ACTION - None given.

USE - For treating, preventing or reducing menopause disorder in a mammal (preferably human) e.g. **erectile dysfunction** (claimed); for improving sexual performance or impotence; for reducing the risk of developing the symptoms associated with, or related to, an androgenic or estrogenic deficiency in a male or female subject; for increasing **libido**; for treating hypergonadism, hyperglycemia, hyperglyceridemia, hypercholesterolemia, hypertension, atherosclerosis, cardiovascular disorder, vasomotor symptoms, obesity, diabetes, osteoporosis, osteopenia, vaginal dryness, thinning of. . .

L6 ANSWER 14 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2003-268074 [26] WPIDS
 DNC C2003-069923
 TI Pharmaceutical composition used for treating e.g. osteoporosis and increasing orgasms intensity comprises (-)-cis-6-phenyl-5-(4-(2-(1-pyrrolidinyl)ethoxy)phenyl)-5,6,7,8-tetrahydro-2-naphthol and estrogen.
 DC B03
 IN HUAZHU, K; THOMPSON, D D; KE, H Z
 PA (HUAZ-I) HUAZHU K; (THOM-I) THOMPSON D D; (PFIZ) PFIZER PROD INC
 CYC 101
 PI WO 2003011282 A1 20030213 (200326)* EN 45
 RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU
 MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
 KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
 RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM
 ZW
 US 2003065017 A1 20030403 (200330)
 EP 1411922 A1 20040428 (200429) EN
 R: AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR IE IT LI LT LU LV MC
 MK NL PT RO SE SI SK TR
 KR 2004019095 A 20040304 (200444)

ADT WO 2003011282 A1 WO 2002-IB2763 20020704; US 2003065017 A1 Provisional US 2001-309065P 20010731, US 2002-206587 20020726; EP 1411922 A1 EP 2002-743537 20020704, WO 2002-IB2763 20020704; KR 2004019095 A KR 2004-701508 20040130

FDT EP 1411922 A1 Based on WO 2003011282

PRAI US 2001-309065P 20010731; US 2002-206587 20020726

AB

composition comprises (-)-cis-6-phenyl-5-(4-(2-(1-pyrrolidinyl)ethoxy)phenyl)-5,6,7,8-tetrahydro-2-naphthol (I) and an estrogen.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for treating osteoporosis, enhancing **libido**, treating hypoactive sexual desire, treating sexual arousal disorder, treating dyspareunia and increasing the frequency and intensity of orgasms, which comprises. . . with the compounds individually.

MECHANISM OF ACTION - Estrogen receptor ligand.

USE - Used for treating osteoporosis, enhancing **libido**, treating hypoactive sexual desire, treating sexual arousal disorder, treating dyspareunia, increasing the frequency and intensity of orgasms and for treating. . . vaginal atrophy, vaginal itching, vaginal dryness, loss of sexual enjoyment, bladder infection, senile gynecomastia, diabetes, hypoglycemia, wound healing, melanoma, impotence (**erectile dysfunction**), inflammatory bowel disease, decreased **libido**, pulmonary hypertension, Turner's syndrome, alopecia, seborrhea, obsessive-compulsive disorder, smoking cessation, cessation of alcohol consumption, bulimia, anorexia nervosa, skin atrophy, skin. . .

L6 ANSWER 15 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2003-092883 [08] WPIDS

CR 2002-732768 [79]; 2003-018683 [01]; 2003-743961 [70]; 2003-829310 [77]

DNC C2003-023146

TI New heterocyclic compounds as e.g. melanocortin receptor modulators used for e.g. the treatment of inflammatory and immune disease, and cardiovascular disease e.g. Crohn's disease, rheumatoid arthritis, and myocardial ischemia.

DC B02 B03

IN HERPIN, T; LAWRENCE, R M; MACOR, J; MORTON, G C; POINDEXTER, G S; RUEDIGER, E H; RUEL, R; THIBAUT, C; YU, G

PA (BRIM) BRISTOL-MYERS SQUIBB CO

CYC 101

PI WO 2002079146 A2 20021010 (200308)* EN 116

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW

EP 1363631 A2 20031126 (200380) EN

R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR

HU 2003003484 A2 20040128 (200415)

AU 2002314720 A1 20021015 (200432)

ADT WO 2002079146 A2 WO 2002-US6581 20020302; EP 1363631 A2 EP 2002-741644

20020302, WO 2002-US6581 20020302; HU 2003003484 A2 WO 2002-US6581

20020302, HU 2003-3484 20020302; AU 2002314720 A1 AU 2002-314720 20020302

FDT EP 1363631 A2 Based on WO 2002079146; HU 2003003484 A2 Based on WO

2002079146; AU 2002314720 A1 Based on WO 2002079146

PRAI US 2001-273291P 20010302; US 2001-273206P 20010302

AB WO 200279146 A UPAB: 20040520

NOVELTY - Melanocortin receptors modulators (I) are new.

DETAILED DESCRIPTION - Melanocortin receptors modulators of formula (I) $W-(CH_2)_y-(R_{11}R_{12}C)x-C(=O)-Q-C(=O)-E$ (I) are new.

$Q = X(R_1)-CH(R_2)-(CH(R_3))_r-(CH_2)_s$;
 E = a group of formula (i);
 $X = N$ or CH ;
 $R_1 = H$ or 1-6C alkyl;
 R_1+R_2 , R_2+R_3 and $R_1+R_3 =$ monocyclic or bicyclic aryl, cycloalkyl, heteroaryl or heterocycle;
 $R_2 =$ 1-6C alkyl or 2-6C alkenyl (both optionally mono- or tri-substituted by OH, alkoxy, halo, cyano, nitro, trifluoromethyl, amino, alkylamino, aryl, cycloalkyl, heteroaryl and/or heterocyclo), H, aryl, cycloalkyl, heteroaryl or heterocyclo;
 $R_3 = H$ or 1-6C alkyl;
 R_4 , R_5 , R_{5a} , R_{5b} , R_6 , R_{6a} , R_{6b} and $R_7 = H$, optionally substituted alkyl, halo, OH, alkoxy, keto, (hetero)aryl, cycloalkyl or heterocyclo;
 $R_8(R_{5a}+R_{5b})$, $R_8(R_{6a}+R_{6b})$, $R_9(R_{5a}+R_{5b})$ and $R_9(R_{6a}+R_{6b}) =$ fused carbocyclic, heterocyclic or heteroaryl ring;
 R_8 and $R_9 =$ alkyl (optionally substituted), H, halo, cyano, alkenyl, alkynyl, cycloalkyl, heterocyclo, (hetero)aryl, $-OR_{13}$, $-NR_{13}R_{14}$, $-SR_{13}-S(O)_pR_{14}$, $-C(=O)R_{13}$, $-OC(=O)R_{13}$, $-CO_2R_{13}$, $-C(=O)NR_{13}R_{14}$, $-NR_{13}C(=O)R_{14}$, $-OC(=O)NR_{13}R_{14}$, $-NR_{13}CO_2R_{14}$, $-NR_{13}C(=O)NR_{14}R_{15}$, or $-NR_{13}SO_2R_{14}$;
 $R_8+R_9 =$ monocyclic or bicyclic cycloalkyl or heterocyclo joined in a spiro fashion to ring E;
 R_{11} and $R_{12} = H$, (halo)alkyl, halo, OH, hydroxyalkyl, amino, aminoalkyl, alkylamino, arylalkyl, cycloalkylalkyl, heteroarylalkyl, aryl, cycloalkyl or T1;
 $T_1 =$ heterocyclo or heterocycloalkyl;
 $R_{13} - R_{15} = H$, optionally substituted alkyl, cycloalkyl, heterocyclo or (hetero)aryl;
 $R_{13}+R_{14}$ and $R_{14}+R_{15} =$ heterocyclo or heteroaryl;
 $W = -NR_{16}R_{17}$, $-NR_{16}C(=O)R_{22}$, $-NR_{16}CO_2R_{22}$, $-OR_{23}$, amidino, guanidino, T2, azetidine, pyrrolidine, piperidine (substituted by $(R_{24})_u$), imidazolidine, tetrahydrofuran, thiazolidine, oxazolidine, piperazine, morpholine, tetrahydropyran (substituted by $(R_{25})_v$) or group of formula (II) or (III);
 $T_2 =$ pyrrolyl, furyl, thienyl, imidazolyl, pyrazolyl, isooxazolyl, thiazolyl, isothiazolyl, 3-azaisothiazolyl, pyridyl, pyrazinyl, pyridazinyl, 1,2-dihydro-pyridazinyl or pyranyl (all optionally substituted and optionally have optionally substituted fused carbocyclic, heterocyclic or heteroaryl ring);
 $B_1 = N$, O or S;
 R_{16} and $R_{17} = H$ or optionally substituted alkyl;
 R_{18} , R_{19} and $R_{21} = H$ or 1-6C alkyl optionally substituted alkyl with halo;
 $R_{20} =$ 1-6C alkyl or (hetero)aryl;
 R_{22} and $R_{23} = H$, optionally substituted alkyl, (hetero)aryl, cycloalkyl or heterocyclo;
 R_{24} and $R_{25} =$ 1-6C alkyl (optionally substituted), H, halo, amino, alkylamino, cyano, nitro, trifluoromethoxy, $-C(=O)R_{26}$, $-CO_2R_{26}$, $-SO_2R_{26}$, $-OR_{26}$, (hetero)aryl, heterocyclo or cycloalkyl;
 $N(R_{25}+R_{25})N$ and $C(R_{25}+R_{25})C =$ fused optionally substituted heteroaryl, heterocyclo or cycloalkyl;
 $R_{24}+R_{24}$ and $R_{25}+R_{25} =$ keto(=O);
 $R_{26} = H$, optionally substituted alkyl, aryl, heterocyclo, cycloalkyl or heteroaryl;
 k , m , u and $v = 0 - 3$;
 $p = 1 - 3$;
 r and $s = 0$ or 1 ;
 w and $z = 0 - 2$; and
 x and $y = 0 - 4$.
Provided that:

- (1) R8 and R9 are not both H;
 - (2) When R8 is -OR13, -(CH2)k-(hetero)aryl, then R9 is not -C(=O)NR18R19, -CO2R19, -(CH2)mNR18SO2R20, -(CH2)mNR18C(=O)R20, -(CH2)mOR19, -(CH2)mO(C=O)R20, -CH(R18)R19 or -(CH2)mNR18(C=O)NR19R21;
 - (3) When y is at least 1 then R11 and R12 is T1;
 - (4) R14 is not H when joined to a sulfonyl group as in -S(O)pR14 or -NR13SO2R14;
 - (5) When x and/or y is at least 1, W may be of formula (ii);
 - (6) When R26 is not H, then R26 is joined to a sulfonyl as in SO2R26.
- R11 and R12 when attached to the same carbon atom may join to form a spirocycloalkyl ring.

An INDEPENDENT CLAIM is also included for a composition comprising (I) and optionally at least one compound for treating an inflammatory or immune disease and carrier.

ACTIVITY - Antiinflammatory; Antirheumatic; Antiarthritic; Osteopathic; Virucide; Antipsoriatic; Nephrotropic; Dermatological; Immunosuppressive; Antithyroid; Antipyretic; Antibacterial; Antimigraine; Nootropic; Neuroprotective; Antiparkinsonian; Antiseborrheic; Antidepressant; Tranquilizer; Vasotropic; Anorectic; Antidiabetic; Cytostatic; Anti-HIV; Hepatotropic; Antiarteriosclerotic; Cardiant; Hypertensive; Antilipemic; Antianginal; Antithrombotic; Cerebroprotective; Analgesic.

MECHANISM OF ACTION - Melanocortin (MC) receptor modulators; particularly MC-1R and MC-4R; Necrosis factor (NF)-kappa B inhibitor.

Test details are described but no results given.

USE - (I) are used for treating inflammatory and immune disease, cardiovascular disease (e.g. inflammatory bowel disease, irritable bowel syndrome, gall bladder disease, Crohn's disease, rheumatoid arthritis, osteoarthritis, osteoporosis, traumatic arthritis, rubella arthritis, muscle degeneration, pancreatitis (acute or chronic), psoriasis, glomerulonephritis, serum sickness, lupus (systemic lupus erythematosus), urticaria, scleroderma, chronic thyroiditis, Grave's disease, dermatitis, dermatomyositis, alopecia, atopic eczemas, ichthyosis, fever, sepsis, migraine, cluster headaches, Alzheimer's Disease, Parkinson's disease, Creutzfeldt-Jacob disease, multiple sclerosis, tuberculosis, dementia), skin disease (e.g. acne, vitiligo, alopecia areata, photosensitive disorder, albinism and porphyria), neurodegenerative conditions (e.g. depression, anxiety, compulsion, neuroses, psychosis, insomnia/sleep disorder, sleep apnea and drug or substance abuse), sexual dysfunction (impotence, loss of libido and erectile dysfunction), bodyweight disorder (e.g. obesity, anorexia and diabetic mellitus), cancer, autoimmune disease e.g. (herpes simplex type 1 (HSV-1), herpes simplex type 2 (HSV-2), cytomegalovirus, Epstein-Barr, human immunodeficiency virus (HIV), Addison's disease (e.g. autoimmune disease of the adrenal glands), idiopathic adrenal insufficiency, autoimmune polyglandular disease, chronic active hepatitis or acute hepatitis infection (including hepatitis A, hepatitis B, hepatitis C), autoimmune gastritis, autoimmune hemolytic anemia or autoimmune neutropenia); cardiovascular disease (e.g. atherosclerosis, transplant atherosclerosis, peripheral vascular disease, inflammatory vascular disease, intermittent claudication, restenosis, cerebrovascular stroke, transient ischemic attack, myocardial ischemia, myocardial infarction, hypertension, hyperlipidemia, coronary artery disease, unstable angina, thrombosis, thrombin-induced platelet aggregation or consequences occurring from thrombosis or formation of atherosclerotic plaques), stroke, traumatic brain injury.

ADVANTAGE - (I) increases the level of cAMP or cGMP in cells for therapeutic benefit. (I) promotes cosmic as well as therapeutic tanning.
Dwg.0/0

CR 2002-732768 [79]; 2003-092883 [08]; 2003-743961 [70]; 2003-829310 [77]
DNC C2003-004465
TI New melanocortin receptor modulators useful for treating conditions such
as inflammatory bowel disease.
DC B05
IN HERPIN, T; LAWRENCE, R M; MACOR, J; MORTON, G C; POINDEXTER, G S;
RUEDIGER, E H; RUEL, R; THIBAUT, C; YU, G
PA (BRIM) BRISTOL-MYERS SQUIBB CO
CYC 101
PI WO 2002070511 A1 20020912 (200301)* EN 107
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM
ZW
EP 1363898 A1 20031126 (200380) EN
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
RO SE SI TR
AU 2002254095 A1 20020919 (200433)
ADT WO 2002070511 A1 WO 2002-US6479 20020302; EP 1363898 A1 EP 2002-723310
20020302, WO 2002-US6479 20020302; AU 2002254095 A1 AU 2002-254095
20020302
FDT EP 1363898 A1 Based on WO 2002070511; AU 2002254095 A1 Based on WO
2002070511
PRAI US 2001-273291P 20010302; US 2001-273206P 20010302
AB WO 2002070511 A UPAB: 20040525
NOVELTY - Melanocortin receptor modulator (I) or its salts, hydrates or
prodrugs are new.
DETAILED DESCRIPTION - Melanocortin receptor modulator of formula (I)
or its salts, hydrates or prodrugs are new.
X = N or CH;
R1, R3 = H or 1-6C alkyl;
R2+R3 and R2+R1 = monocyclic or bicyclic aryl, cycloalkyl,
heteroaryl or heterocycle;
R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclo, 1-6C alkyl or
2-6C alkenyl (both optionally mono- - tri-substituted by OH, alkoxy, halo,
cyano, trifluoromethyl, nitro, amino, alkylamino, aryl, cycloalkyl,
heteroaryl and/or heterocyclo);
E = group of formula or -NR11R12;
G = 2-6C alkenyl, A3-aryl, -OR18, A1-heteroaryl, A1-cyano, A2-OR17,
A1-C(=O)R18, A1-CO2R18, A1-C(=O)NR18R19, A1-OC(=O)R18, A1-NR18C(=O)R19,
A1-OC(=O)NR18R19, A1-NR18CO2R19, A1-NR18SO2R17, A1-SO2R17,
A1-NR20C(=O)NR18R19, A1-SR18, A1-heterocyclo;
A1 = bond or A2;
A2 = 1-6C alkylene or A3;
A3 = 2-6C alkenylene;
W = -NR21R22, -OR23, -NR21C(=O)R24, -NR21CO2R24, amidine, guanidino,
heteroaryl, optionally substituted heterocyclo or cycloalkyl selected from
azepinyl, azetidiny, imidazolyl, imidazolidinyl, pyrazolyl, pyridyl,
pyrazinyl, pyridazinyl, 1,2-dihydropyridazinyl, pyranyl,
tetrahydropyranyl, piperazinyl, homopiperazinyl, pyrrolyl, pyrrolidinyl,
piperidinyl, thiazolyl, tetrahydrothiazolyl, thienyl, furyl,
tetrahydrofuryl, morpholinyl, isoquinolinyl, tetrahydroisoquinolinyl,
tetrazolyl, oxazolyl, tetrahydro-oxazolyl or 3-7C cycloalkyl (in which
heteroaryl, heterocyclo or cycloalkyl groups may additionally joined to an
optionally substituted 5- - 7-membered heterocyclic, heteroaryl or
carbocyclic ring);
R4 and R7 = H, optionally substituted alkyl, halogen, OH, alkoxy or
keto;
R5, R5a, R5b, R6, R6a, R6b, R8 and R9 = H, halo, cyano, optionally

substituted alkenyl, alkynyl, cycloalkyl, heterocyclo, aryl, (hetero)aryl, -OR25, -NR25R26, -SR25, -S(O)pR26, -C(=O)R25, -OC(=O)R25, -CO2R25, -C(=O)NR25R26, -NR25C(=O)R26, -OC(=O)NR25R26, -NR25CO2R26, -NR27C(=O)NR25R26 or -NR25SO2R26;

R5a+R5b, R6a+R6b and R8+R9 = keto group (=O) or a monocyclic or bicyclic cycloalkyl or heterocyclo joined in spiro fashion to ring E;

R5a and/or R5b+R8 and/or R9 and R6a and/or R6b+R8 and/or R9 = fused carbocyclic, heterocyclic or heteroaryl ring;

R10, R23 - R27 = H, optionally substituted (cyclo)alkyl, aryl, (hetero)aryl or heterocyclo;

R11 = H or 1-8C alkyl;

R12 = optionally substituted 1-8C alkyl or cycloalkyl;

R13 - R16 = H, optionally substituted amino, alkylamino, OH, alkoxy, aryl, (cyclo)alkyl, (hetero)aryl or heterocyclo;

C(R13+R14) and C(R15+R16) = spirocycloalkyl ring;

R17 = optionally substituted (cyclo)alkyl, heterocyclo or (hetero)aryl; R18 - R20 = alkyl, alkenyl (both optionally substituted), H, (hetero)aryl, cycloalkyl, heterocyclo or C(=O)R28;

R21, R22 and R28 = H or optionally substituted alkyl;

R25+R26 = heterocyclo or heteroaryl;

n, y = 0 - 4;

p = 1 - 3;

r, s = 0 or 1;

x, z = 0 - 2;

R29, R31 = H, alkyl, haloalkyl, hydroxyalkyl, phenylalkyl or alkoxy-carbonylalkyl; and

R29+R30 = heterocyclo ring.

provided that:

(1) When G is 1-6C alkyl substituted with -OR17, -CO2R18 or -C(=O)NR18R19 then R5a, R5b, R6a and R6b are H;

(2) When G is NH(C=O)R19 then R19 may be a bond joined to W to define a heterocyclo ring;

(3) When y is at least one, W is imidazolyl, indolyl, -NR21R22 or -OR23 and G is -NR18C(=O)R19 then R19 is not a 1C alkyl substituted by -NR29R31; and

(4) R25+R26 is heterocyclo or heteroaryl except R26 is not H when joined to a sulfonyl group as in -S(O)pR26 or -NR25SO2R26.

An INDEPENDENT CLAIM is also included for a pharmaceutical composition comprising at least one (I), at least one second compound effective for treating an inflammatory or immune disease, and a carrier or diluent.

ACTIVITY - Antiinflammatory; Antirheumatic; Antiarthritic; Osteopathic; Antipsoriatic; Antimigraine; Nootropic; Neuroprotective; Antiparkinsonian; Immunosuppressive; Antiasthmatic; Cerebroprotective; Central Nervous System-Gen; Nephrotropic; Dermatological; Antithyroid; Antipyretic; Antibacterial; Tuberculostatic; Antiallergic; Anti-HIV; Virucide; Protozoacide; Vasotropic; Analgesic; Antiseborrheic; Antidepressant; Tranquilizer; Anorectic; Antidiabetic; Tocolytic; Gynecological; Cytostatic; Vulnerary; Respiratory-Gen.

MECHANISM OF ACTION - Melanocortin-receptor modulator (preferably MC-1R and MC-4R).

Test details are described but no results given.

USE - This inventive compound is used for treating melanocortin-receptor associated condition (claimed); inflammatory bowel disease, irritable bowel syndrome, rheumatoid arthritis, osteoarthritis, muscle degeneration, pancreatic, psoriasis, gall bladder disease, Crohn's disease, osteoporosis, traumatic, arthritis, rubella arthritis, muscle degeneration, glomerulonephritis, serum sickness, systemic lupus erythematosus, urticaria, scleraclerma, scleroderma, chronic thyroiditis, Grave's disease, dermatitis, dermatomyositis, alopecia, atopic eczemas, ichthyosis, fever, sepsis, migraine, cluster headaches, Alzheimer's disease, Parkinson's disease, Creutzfeldt-Jacob disease, multiple

sclerosis, tuberculosis, dementia, transplant or graft-host rejections, hayfever, allergic rhinitis, inflammatory disorders of the central nervous system (including HIV encephalitis, cerebral malaria, meningitis and ataxia telangiectasis), pain, acne, transplant rejection, asthma, acute respiratory distress syndrome, chronic obstructive pulmonary disease, stroke, ischemic brain disease, neurodegeneration resulting from stroke or ischemic brain disease, neurodegeneration and consequences of traumatic brain injury, vitiligo, alopecia areata, photosensitivity disorders, albinism, porphyria, depression, anxiety, obsessive-compulsive disorder, neuroses, psychosis, insomnia/sleep disorder, sleep apnea, drug or substance abuse, male sexual dysfunction (including impotence, loss of libido and erectile dysfunction) female sexual dysfunction (including sexual arousal disorder, sexual pain, premature labor, dysmenorrhea, excessive menstruation and endometriosis), obesity, anorexia and diabetic mellitus.

ADVANTAGE - (I) has additive and synergistic effect and increases the efficacy of the administration or decreases the dosage to reduce possible side effects. (I) increases the levels of cAMP in cells, decreases levels of the pro-inflammatory messenger nitric oxide, decreases chemotactic ability, and alter the expression of immune related genes.
Dwg.0/0

L6 ANSWER 17 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2002-759789 [82] WPIDS
CR 2002-706964 [76]
DNC C2002-214706
TI New 4-substituted N-acylated piperidine derivatives useful for treating e.g. obesity.
DC B02 B03
IN BAKSHI, R K; GOULET, M T; NARGUND, R P; SEBHAT, I K; UJJAINWALLA, F; WALSH, T F; WARNER, D; YE, Z; YOUNG, J R
PA (MERI) MERCK & CO INC; (YEZY-I) YE Z; (BAKS-I) BAKSHI R K; (GOUL-I) GOULET M T; (NARG-I) NARGUND R P; (SEBH-I) SEBHAT I K; (UJJA-I) UJJAINWALLA F; (WALS-I) WALSH T F; (WARN-I) WARNER D; (YEZZ-I) YE Z; (YOUN-I) YOUNG J R
CYC 100
PI WO 2002068387 A2 20020906 (200282)* EN 138
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
EP 1372653 A2 20040102 (200409) EN
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR
AU 2002255597 A1 20020912 (200433)
US 2004097546 A1 20040520 (200434)
ADT WO 2002068387 A2 WO 2002-US5623 20020225; EP 1372653 A2 EP 2002-725001 20020225; WO 2002-US5623 20020225; AU 2002255597 A1 AU 2002-255597 20020225; US 2004097546 A1 WO 2002-US5623 20020225, US 2003-468515 20030819
FDT EP 1372653 A2 Based on WO 2002068387; AU 2002255597 A1 Based on WO 2002068387
PRAI US 2001-300572P 20010622; US 2001-272258P 20010228;
US 2003-468515 20030819
AB . . .

INDEPENDENT CLAIMS are included for the following:

- (1) A pharmaceutical composition containing (I) and a carrier;
- (2) Treating **erectile dysfunction** in a subject by administering (I) in combination with a type V cyclic-GMP-selective phosphodiesterase inhibitor, alpha 2-adrenergic receptor antagonist or . . . to the activation of the melanocortin-4 receptor in a mammal, e.g.

obesity, diabetes mellitus, male or female sexual dysfunction, and **erectile dysfunction** (all claimed), hypertension, hyperlipidemia, osteoarthritis, cancer, gall bladder disease, sleep apnea, depression, anxiety, compulsion, neuroses, insomnia/sleep disorder, substance abuse, pain, impotence, loss of **libido**, fever, inflammation, immunomodulation, rheumatoid arthritis, skin tannin, acne and other skin disorders, neuroprotective and cognitive and memory enhancement including the. . .

L6 ANSWER 18 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2002-732768 [79] WPIDS
 CR 2003-018683 [01]; 2003-092883 [08]; 2003-743961 [70]; 2003-829310 [77]
 DNC C2002-207345
 TI Regulation of cyclic adenosine 3',5' monophosphate for treating e.g. inflammatory bowel diseases involves co-administration of a combination of melanocortin receptor agonist and phosphodiesterase inhibitor.
 DC B05 C02 C03
 IN CARLSON, K E; MACOR, J E
 PA (CARL-I) CARLSON K E; (MACO-I) MACOR J E; (BRIM) BRISTOL-MYERS SQUIBB CO
 CYC 101
 PI WO 2002069905 A2 20020912 (200279)* EN 91
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZM ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
 KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
 RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM
 ZW
 US 2003069169 A1 20030410 (200327)
 EP 1370211 A2 20031217 (200402) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
 RO SE SI TR
 AU 2002245601 A1 20020919 (200433)
 ADT WO 2002069905 A2 WO 2002-US6805 20020304; US 2003069169 A1 Provisional US
 2001-273206P 20010302, Provisional US 2001-273291P 20010302, Provisional
 US 2001-289719P 20010509, US 2002-90258 20020304; EP 1370211 A2 EP
 2002-713772 20020304, WO 2002-US6805 20020304; AU 2002245601 A1 AU
 2002-245601 20020304
 FDT EP 1370211 A2 Based on WO 2002069905; AU 2002245601 A1 Based on WO
 2002069905
 PRAI US 2001-289719P 20010509; US 2001-273206P 20010302;
 US 2001-273291P 20010302; US 2002-90258 20020304
 AB . . .
 anxiety, obsessive-compulsive disorder, neuroses, psychosis,
 insomnia/sleep disorder, sleep apnea, drug or substance abuse, male sexual
 dysfunction (including impotence, loss of **libido** and
erectile dysfunction) female sexual dysfunction
 (including sexual arousal disorder, sexual pain, premature labor,
 dysmenorrhea, excessive menstruation and endometriosis), obesity, anorexia
 and diabetic. . .

L6 ANSWER 19 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2002-732725 [79] WPIDS
 DNC C2002-207311
 TI New 4-substituted N-acylated piperidine derivatives useful for treating,
 e.g. obesity, diabetes mellitus, sexual dysfunction, osteoarthritis,
 cancer, acne Alzheimer's disease or dysmenorrhea.
 DC B02 B03
 IN GOULET, M T; NARGUND, R P; UJJAINWALLA, F; WALSH, T F; WARNER, D;
 UJJAINWALLA, F
 PA (MERI) MERCK & CO INC; (GOUL-I) GOULET M T; (NARG-I) NARGUND R P; (UJJA-I)
 UJJAINWALLA F; (WALS-I) WALSH T F; (WARN-I) WARNER D

CYC 100
 PI WO 2002067869 A2 20020906 (200279)* EN 106
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZM ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KZ
 LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO
 RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
 EP 1385506 A2 20040204 (200410) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
 RO SE SI TR
 US 2004092501 A1 20040513 (200432)
 AU 2002250343 A1 20020912 (200433)
 ADT WO 2002067869 A2 WO 2002-US8002 20020225; EP 1385506 A2 EP 2002-719251
 20020225, WO 2002-US8002 20020225; US 2004092501 A1 WO 2002-US8002
 20020225, US 2003-468517 20030819; AU 2002250343 A1 AU 2002-250343
 20020225
 FDT EP 1385506 A2 Based on WO 2002067869; AU 2002250343 A1 Based on WO
 2002067869
 PRAI US 2001-272259P 20010228; US 2003-468517 20030819
 AB . . .
 INDEPENDENT CLAIMS are included for the following:
 (1) A pharmaceutical composition containing (I) and a carrier;
 (2) Treating **erectile dysfunction** in a subject by
 administering (I) in combination with a type V cyclic-GMP-selective
 phosphodiesterase inhibitor, alpha 2-adrenergic receptor antagonist or . .
 . to the activation of the melanocortin-4 receptor in a mammal, e.g.
 obesity, diabetes mellitus, male or female sexual dysfunction, and
erectile dysfunction (all claimed), hypertension,
 hyperlipidemia, osteoarthritis, cancer, gall bladder disease, sleep apnea,
 depression, anxiety, compulsion, neuroses, insomnia/sleep disorder,
 substance abuse, pain, impotence, loss of **libido**, fever,
 inflammation, immunomodulation, rheumatoid arthritis, skin tannin, acne
 and other skin disorders, neuroprotective and cognitive and memory
 enhancement including the. . .

 L6 ANSWER 20 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2002-713544 [77] WPIDS
 DNC C2002-202370
 TI Composition for treating mood disorders such as premenstrual syndrome,
 comprises cocoa or its at least one active components and a dopamine D2
 receptor agonist.
 DC B05 D13 D16
 IN RAGGERS, R J; TER LAAK, W; VERDEGEM, P J E
 PA (RAGG-I) RAGGERS R J; (LAAK-I) TER LAAK W; (VERD-I) VERDEGEM P J E;
 (NUTR-N) NUTRICIA NV
 CYC 101
 PI WO 2002074321 A1 20020926 (200277)* EN 27
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZM ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
 KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT
 RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM
 ZW
 US 2002172732 A1 20021121 (200279)
 EP 1370273 A1 20031217 (200402) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
 RO SE SI TR
 US 2004005347 A1 20040108 (200404)
 AU 2002241397 A1 20021003 (200432)
 ADT WO 2002074321 A1 WO 2002-NL184 20020321; US 2002172732 A1 US 2001-812839

20010321; EP 1370273 A1 EP 2002-707335 20020321, WO 2002-NL184 20020321;
US 2004005347 A1 Cont of US 2001-812839 20010321, US 2003-608095 20030630;
AU 2002241397 A1 AU 2002-241397 20020321
FDT EP 1370273 A1 Based on WO 2002074321; AU 2002241397 A1 Based on WO
2002074321

PRAI US 2001-812839 20010321; US 2003-608095 20030630
AB . . .

mood, such as depression, mood disorder or insufficient mood, obesity,
overweight, premenstrual syndrome, craving, carbohydrate craving,
chocolate craving, menopausal complaints, **erectile
dysfunction** and/or reduced **libido** (all claimed).

ADVANTAGE - The composition provides mood improvement to the subject;
while reduces the tendency of fat storage.. . .

L6 ANSWER 21 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2002-706964 [76] WPIDS

CR 2002-759789 [82]

DNC C2002-200541

TI New 4-substituted N-acylated piperidine derivatives useful for treating
e.g. obesity.

DC B03

IN CHU, L; GOULET, M T; LOURIDAS, B; UJJAINWALLA, F; WARNER, D; WYVRATT, M J;
LEE, B

PA (MERI) MERCK & CO INC; (CHUL-I) CHU L; (GOUL-I) GOULET M T; (LOUR-I)
LOURIDAS B; (UJJA-I) UJJAINWALLA F; (WARN-I) WARNER D; (WYVR-I) WYVRATT M
J

CYC 100

PI WO 2002068388 A2 20020906 (200276)* EN 112

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KZ
LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO
RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW

NO 2003003812 A 20031028 (200379)

US 2003225060 A1 20031204 (200380)

EP 1383501 A2 20040128 (200409) EN

R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
RO SE SI TR

KR 2003076716 A 20030926 (200410)

SK 2003001087 A3 20040203 (200413)

HU 2003003376 A2 20040128 (200415)

CZ 2003002325 A3 20040218 (200430)

AU 2002258414 A1 20020912 (200433)

ADT WO 2002068388 A2 WO 2002-US5724 20020225; NO 2003003812 A WO 2002-US5724
20020225, NO 2003-3812 20030827; US 2003225060 A1 Cont of WO 2002-US5724
20020225, US 2003-356879 20030203; EP 1383501 A2 EP 2002-728357 20020225,
WO 2002-US5724 20020225; KR 2003076716 A KR 2003-711348 20030828; SK
2003001087 A3 WO 2002-US5724 20020225, SK 2003-1087 20020225; HU
2003003376 A2 WO 2002-US5724 20020225, HU 2003-3376 20020225; CZ
2003002325 A3 WO 2002-US5724 20020225, CZ 2003-2325 20020225; AU
2002258414 A1 AU 2002-258414 20020225

FDT EP 1383501 A2 Based on WO 2002068388; SK 2003001087 A3 Based on WO
2002068388; HU 2003003376 A2 Based on WO 2002068388; CZ 2003002325 A3
Based on WO 2002068388; AU 2002258414 A1 Based on WO 2002068388

PRAI US 2001-300118P 20010622; US 2001-272258P 20010228;
US 2003-356879 20030203

AB . . .

INDEPENDENT CLAIMS are included for the following:

- (1) A pharmaceutical composition containing (I) and a carrier;
- (2) Treating **erectile dysfunction** in a subject by
administering (I) in combination with a type V cyclic-GMP-selective

phosphodiesterase inhibitor, alpha 2-adrenergic receptor antagonist or. .
 . to the activation of the melanocortin-4 receptor in a mammal, e.g.
 obesity, diabetes mellitus, male or female sexual dysfunction, and
erectile dysfunction (all claimed), hypertension,
 hyperlipidemia, osteoarthritis, cancer, gall bladder disease, sleep apnea,
 depression, anxiety, compulsion, neuroses, insomnia/sleep disorder,
 substance abuse, pain, impotence, loss of **libido**, fever,
 inflammation, immunomodulation, rheumatoid arthritis, skin tannin, acne
 and other skin disorders, neuroprotective and cognitive and memory
 enhancement including the. . .

L6 ANSWER 22 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2002-666856 [71] WPIDS
 DNC C2002-187169
 TI Novel composition useful for treating sexual dysfunction, improving sexual
 function and increasing muscle mass or muscle strength, comprises liposome
 encapsulated Pausinystalia yohimbe and testosterone precursors.
 DC B01 B04 D16
 IN BRASWELL, A G; GRINBLAT, E; KUGLER, H; YEGOROVA, I
 PA (BRAS-I) BRASWELL A G
 CYC 94
 PI WO 2002051426 A2 20020704 (200271)* EN 33
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZM ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM
 DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC
 LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE
 SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
 AU 2002241621 A1 20020708 (200427)
 ADT WO 2002051426 A2 WO 2001-US48143 20011207; AU 2002241621 A1 AU 2002-241621
 20011207
 FDT AU 2002241621 A1 Based on WO 2002051426
 PRAI US 2000-742355 20001222
 AB . . .
 testosterone levels results from administration of the test preparation.
 Sixty men having total reduced testosterone and complaining of loss of
libido were selected for inclusion in the statistical study. Two
 weeks before the study, each subject completed a self-administered
 questionnaire to assess sexual function in men with **erectile**
dysfunction. Baseline blood samples were drawn on two separate
 days, measuring free and bound serum testosterone, with standard hemogram
 and blood. . . and inducing erectogenesis in a human. (I) is also
 useful for normalizing human testosterone levels, strengthening human
 orgasms, improving human **libido** by stimulating the central
 nervous system and increasing muscle mass in a human, (all claimed).
 ADVANTAGE - (I) is. . .

L6 ANSWER 23 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2002-454325 [48] WPIDS
 CR 2002-371805 [40]; 2002-599242 [64]; 2003-787197 [74]
 DNC C2002-129080
 TI A method of improving sexual performance treating **erectile**
dysfunction and increasing the **libido** of men, comprises
 administering a composition containing a steroid, alcohol and penetration
 enhancer.
 DC A96 B01
 IN DUDLEY, R E
 PA (UNIM-N) UNIMED PHARM INC
 CYC 96
 PI WO 2002017927 A1 20020307 (200248)* EN 81
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU
SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW

AU 2001086995 A 20020313 (200249)
EP 1315502 A1 20030604 (200337) EN
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
RO SE SI TR

ADT WO 2002017927 A1 WO 2001-US27205 20010829; AU 2001086995 A AU 2001-86995
20010829; EP 1315502 A1 EP 2001-966486 20010829, WO 2001-US27205 20010829
FDT AU 2001086995 A Based on WO 2002017927; EP 1315502 A1 Based on WO
2002017927

PRAI US 2000-703753 20001101; US 2000-651777 20000830

TI A method of improving sexual performance treating **erectile
dysfunction** and increasing the **libido** of men, comprises
administering a composition containing a steroid, alcohol and penetration
enhancer.

AB WO 200217927 UPAB: 20031117
NOVELTY - A method of improving sexual performance, treating
erectile dysfunction and increasing the **libido**
of men comprises percutaneously delivering a steroid in the testosterone
synthetic pathway to a subject via a composition comprising steroid, . .
. . penetration enhancer.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:
(1) A kit comprising a pharmaceutical useful for treating
erectile dysfunction in a man and a transdermal
testosterone gel.
(2) A method of improving the efficacy of a pharmaceutical,
comprising:
. . .

USE - To improve sexual performance in men suffering from hypogonadal
(preferably primary hypogonadism) by treating impotence, for treating
erectile dysfunction where the subject is eugonadal and
for increasing the **libido** of men (claimed).

ADVANTAGE - The men achieve hormonal steady state levels of
testosterone. This composition has a desirable pharmacokinetic. . .

L6 ANSWER 24 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2002-291892 [33] WPIDS
CR 2002-241707 [29]
DNC C2002-085707

TI Medicament comprising combination of purine compound and non-steroidal
antiinflammatory, useful for treating male or female sexual dysfunction or
as aphrodisiac.

DC B05
IN GOMY, P; PONS, C; STUECKER, O; GORNY, P; PONS-HIMBERT, C; PONS, H C;
STUCKER, O
PA (GORN-I) GORNY P; (PONS-I) PONS-HIMBERT C; (STUC-I) STUCKER O; (ADEN-N)
ADENOMED BV; (PONS-I) PONS H C; (STUE-I) STUECKER O
CYC 97
PI WO 2002011665 A2 20020214 (200233)* FR 18
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TR TZ UG ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU
SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
FR 2812812 A1 20020215 (200233)
AU 2001084125 A 20020218 (200244)
EP 1309331 A2 20030514 (200333) FR
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
RO SE SI TR

BR 2001012830 A 20030624 (200343)
 JP 2004505897 W 20040226 (200416) 38
 ADT WO 2002011665 A2 WO 2001-FR2579 20010808; FR 2812812 A1 FR 2000-10435
 20000808; AU 2001084125 A AU 2001-84125 20010808; EP 1309331 A2 EP
 2001-963079 20010808, WO 2001-FR2579 20010808; BR 2001012830 A BR
 2001-12830 20010808, WO 2001-FR2579 20010808; JP 2004505897 W WO
 2001-FR2579 20010808, JP 2002-517003 20010808
 FDT AU 2001084125 A Based on WO 2002011665; EP 1309331 A2 Based on WO
 2002011665; BR 2001012830 A Based on WO 2002011665; JP 2004505897 W Based
 on WO 2002011665
 PRAI FR 2000-10435 20000808
 AB . . .

increasing sexual satisfaction in subjects not suffering from sexual
 dysfunction (all claimed). Typically (I) is effective against temporary or
 chronic **erectile dysfunction** in males and loss of
libido, lack of orgasm, vaginal dryness and reduction of sexual
 pleasure in females.

ADVANTAGE - (II) strongly potentiates the smooth. . .

L6 ANSWER 25 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2002-241720 [29] WPIDS
 DNC C2002-072723
 TI New triamine derivatives are melanocortin receptor modulators used for
 treating e.g. obesity, pain, Alzheimer's disease and anxiety.
 DC B05
 IN GAHMAN, T C; GREEN, M J; GRIFFITH, M C; HAMASHIN, C; HOLME, K R;
 MACDONALD, J E; QI, M; WATSON-STRAUGHAN, K J
 PA (LION-N) LION BIOSCIENCE AG
 CYC 95
 PI WO 2002012166 A2 20020214 (200229)* EN 169
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ
 LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD
 SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
 AU 2001072555 A 20020218 (200244)
 ADT WO 2002012166 A2 WO 2001-EP8417 20010720; AU 2001072555 A AU 2001-72555
 20010720
 FDT AU 2001072555 A Based on WO 2002012166
 PRAI US 2000-632928 20000804
 AB . . .

specific compounds (I).

USE - For altering (increasing or decreasing) the activity of a
 melanocortin receptor; for treatment of **erectile
 dysfunction**, sexual dysfunction, obesity, an eating disorder,
 diabetes, syndrome X and inflammation (all claimed); for regulating
 cytokine activity; for treatment of. . . e.g. Chagas' disease. (I) Are
 also used for treatment of hypertension, fever, hypopigmentation,
 osteoarthritis, cancer, gall bladder disease, loss of **libido**,
 impotence, cognitive and memory deficiencies, substance abuse, pain, sleep
 apnea, depression, anxiety, compulsion, neuroses, insomnia, other sleep
 disorders and Alzheimer's. . .

L6 ANSWER 26 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2001-274607 [29] WPIDS
 DNC C2001-103927
 TI Dough-type health food useful as aphrodisiac and general tonic, containing
 nuts, spice seeds, spices, hemp, water melon seeds, mulberries, almonds,
 raisins, dates, honey and jujubes.
 DC B04 D13
 IN KESCHMIRI, Y

PA (KESC-I) KESCHMIRI Y
 CYC 1
 PI DE 19948652 A1 20010405 (200129)* 4
 ADT DE 19948652 A1 DE 1999-1048652 19991001
 PRAI DE 1999-19948652 19991001
 AB . . .
 OF ACTION - None given.
 USE - (I) is used as an aphrodisiac and/or general tonic (claimed).
 It improves **libido** and potency (i.e. is useful for treating **erectile dysfunction**); and also has metabolism and circulation stimulating action.
 (I) may also be effective against infertility and hair loss; and. .

L6 ANSWER 27 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2001-102611 [11] WPIDS
 DNC C2001-030004
 TI Treatment of male and female sexual function disorders, such as erectile dysfunction, and orgasm disorders, comprises administration of human growth hormone.
 DC B04
 IN BECKER, A J; STIEF, C G; UDO, J; UECKERT, S; JONAS, U; UCKERT, S
 PA (BECK-I) BECKER A J; (JONA-I) JONAS U; (STIE-I) STIEF C G; (UCKE-I) UCKERT S; (PHAA) PHARMACIA AB; (UECK-I) UECKERT S
 CYC 85
 PI WO 2000078328 A2 20001228 (200111)* GE 14
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TZ UG ZW
 W: AE AG AL AU BA BB BG BR CA CN CR CU CZ DM DZ EE GD GE HR HU ID IL
 IN IS JP KP KR LC LK LR LT LV MA MG MK MN MX NO NZ PL RO SG SI SK
 TR TT UA US UZ VN YU ZA
 AU 2000062635 A 20010109 (200122)
 EP 1207902 A2 20020529 (200243) GE
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
 RO SE SI
 JP 2003502379 W 20030121 (200308) 18
 NZ 516223 A 20030829 (200365)
 ADT WO 2000078328 A2 WO 2000-EP5517 20000615; AU 2000062635 A AU 2000-62635 20000615; EP 1207902 A2 EP 2000-949187 20000615, WO 2000-EP5517 20000615; JP 2003502379 W WO 2000-EP5517 20000615, JP 2001-504391 20000615; NZ 516223 A NZ 2000-516223 20000615, WO 2000-EP5517 20000615
 FDT AU 2000062635 A Based on WO 2000078328; EP 1207902 A2 Based on WO 2000078328; JP 2003502379 W Based on WO 2000078328; NZ 516223 A Based on WO 2000078328
 PRAI DE 1999-19927678 19990617
 AB . . .
 - hGH stimulant; hGH analog; IGF-1 release promoter.
 USE - For treating sexual function disorders e.g. lack or loss of **libido**, orgasm disorders, inadequate lubrication and **erectile dysfunction**.
 Dwg.0/4

L6 ANSWER 28 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2001-015897 [02] WPIDS
 DNC C2001-004324
 TI Libido of human females is increased by intrapulmonary delivery of testosterone to provide short term enhanced libido without undesirable side effects.
 DC B07 P34
 IN COLE, R; RUBSAMEN, R M
 PA (ARAD-N) ARADIGM CORP; (COLE-I) COLE R; (RUBS-I) RUBSAMEN R M
 CYC 93

PI WO 2000066084 A1 20001109 (200102)* EN 20
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL
 OA PT SD SE SL SZ TZ UG ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DZ
 EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK
 LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI
 SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
 AU 2000049836 A 20001117 (200111)
 US 2002002973 A1 20020110 (200208)
 EP 1175204 A1 20020130 (200216) EN
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
 RO SE SI
 US 6428769 B1 20020806 (200254)
 JP 2003530304 W 20031014 (200368) 22
 US 6632419 B2 20031014 (200368)
 ADT WO 2000066084 A1 WO 2000-US12092 20000503; AU 2000049836 A AU 2000-49836
 20000503; US 2002002973 A1 Provisional US 1999-132472P 19990504, CIP of US
 2000-563773 20000502, US 2001-813100 20010319; EP 1175204 A1 EP
 2000-932051 20000503, WO 2000-US12092 20000503; US 6428769 B1 Provisional
 US 1999-132472P 19990504, US 2000-563773 20000502; JP 2003530304 W JP
 2000-614970 20000503, WO 2000-US12092 20000503; US 6632419 B2 Provisional
 US 1999-132472P 19990504, CIP of US 2000-563773 20000502, US 2001-813100
 20010319
 FDT AU 2000049836 A Based on WO 2000066084; EP 1175204 A1 Based on WO
 2000066084; JP 2003530304 W Based on WO 2000066084; US 6632419 B2 CIP of
 US 6428769
 PRAI US 2000-563773 20000502; US 1999-132472P 19990504;
 US 2001-813100 20010319
 AB WO 200066084 UPAB: 20020823
 NOVELTY - **Libido** of human females is increased by the
 intrapulmonary delivery of testosterone to provide short term enhanced
libido without undesirable side effects.
 DETAILED DESCRIPTION - A formulation for aerosolized administration
 of testosterone comprises a testosterone and a carrier suitable for
 aerosol delivery.
 INDEPENDENT CLAIMS are also included for the following:
 (1) a formulation for increasing **libido** by aerosol
 administration comprises a testosterone and a carrier suitable for
 aerosolized administration;
 (2) an aerosolized formulation comprises a testosterone. . .
 sildenafil citrate.
 ACTIVITY - Hormonal.
 MECHANISM OF ACTION - The formulation enhances testosterone levels in
 female patients with decreased **libido**
 USE - When administered, the formulation provides enhancement of
 patient's testosterone level for a short period and subsides to base line
 levels with normal metabolism and provides desired short term effect on
 enhanced **libido**, without undesirable effects of long term
 enhanced testosterone levels. Formulations also containing sildenafil
 citrate can also be administered to males for treating **erectile**
dysfunction.
 ADVANTAGE - The method of administration via aerosolized inhaler is
 non-invasive.
 Dwg.0/0

L6 ANSWER 29 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
 AN 2000-600853 [57] WPIDS
 DNC C2000-179699
 TI Drug for treatment of erection disorder.
 DC B04
 IN SERGEEV, A V
 PA (SERG-I) SERGEEV A V

CYC 1
PI RU 2146940 C1 20000327 (200057)*
ADT RU 2146940 C1 RU 1999-109716 19990514
PRAI RU 1999-109716 19990514
AB . . .
plant components taken at equal ratio and definite dilution: Agnus castus, Berberis vulgaris, Conium maculatum, Lycopodium clavatum. The agent eliminates **erectile dysfunction**, recovers adequate response for sexual excitement, enhances orgasm, promotes to the more complete coitus satisfaction and enhances **libido**.
USE - Medicine, sexology, homeopathy.
ADVANTAGE - Enhanced effectiveness of agent, absence of adverse effects in therapy. 2. . .

L6 ANSWER 30 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2000-513996 [46] WPIDS
CR 1998-216453 [19]; 2001-256459 [22]
DNC C2000-153277
TI Composition for treating impotence in human males comprises dried sturgeon roe and yohimbane.
DC B04
IN OMAR, L I
PA (OMAR-I) OMAR L I
CYC 82
PI US 6086884 A 20000711 (200046)* 8
WO 2000067765 A1 20001116 (200061)# EN
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL
OA PT SD SE SL SZ UG ZW
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE
GH GM HR HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG
MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG
US UZ VN YU ZW
AU 9938958 A 20001121 (200112)#
ADT US 6086884 A CIP of US 1996-660875 19960606, US 1998-23652 19980207; WO 2000067765 A1 WO 1999-US10241 19990510; AU 9938958 A AU 1999-38958 19990510, WO 1999-US10241 19990510
FDT AU 9938958 A Based on WO 2000067765
PRAI US 1998-23652 19980207; US 1996-660875 19960606;
WO 1999-US10241 19990510; AU 1999-38958 19990510
AB . . .
(II) in a (I):(II) weight ratio of 25-1000:1.
USE - The composition is useful for enhancing penile erection and **libido**.
ADVANTAGE - The composition has a synergistic effect in that (I) enhances **libido** and (II) relieves **erectile dysfunction** (no data given).
Dwg.0/2

L6 ANSWER 31 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 2000-442812 [38] WPIDS
DNC C2000-134824
TI Formulation for treating or preventing **erectile dysfunction** or loss of **libido** comprises Muira Puama, L-arginine and L-histidine.
DC B05
IN SPENCE, J B
PA (PHAR-N) PHARMACHOICE HEALTHCARE PTY LTD
CYC 1
PI ZA 9904697 A 20000426 (200038)* 20
ADT ZA 9904697 A ZA 1999-4697 19990721
PRAI ZA 1998-8241 19980909
TI Formulation for treating or preventing **erectile**

dysfunction or loss of **libido** comprises Muira Puama,
L-arginine and L-histidine.

AB

and ferrous lactate.

ACTIVITY - Vasotropic.

MECHANISM OF ACTION - None given.

USE - Used to treat or prevent **erectile dysfunction**
and/or loss of **libido** in males and lack of **libido**
and/or other sexual dysfunction in females.
Dwg.0/0

L6 ANSWER 32 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2000-387603 [33] WPIDS

DNC C2000-117623

TI Use of one or more compounds which occupy the serotonin 5-HT2C and 5-HT2A
receptors, for treatment of sexual dysfunctions such as male
erectile dysfunction, impotence and inhibited female
orgasm and to improve **libido** and sexual performance.

DC B02 B03 B04 C02

IN HAYES, E S

PA (NORT-N) NORTRAN PHARM INC

CYC 90

PI WO 2000028993 A1 20000525 (200033)* EN 147

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL
OA PT SD SE SL SZ TZ UG ZW

W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES
FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS
LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL
TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW

AU 2000017389 A 20000605 (200042)

ADT WO 2000028993 A1 WO 1999-US27484 19991119; AU 2000017389 A AU 2000-17389
19991119

FDT AU 2000017389 A Based on WO 2000028993

PRAI US 1998-109255P 19981119

TI . . . one or more compounds which occupy the serotonin 5-HT2C and
5-HT2A receptors, for treatment of sexual dysfunctions such as male
erectile dysfunction, impotence and inhibited female
orgasm and to improve **libido** and sexual performance.

AB

MECHANISM OF ACTION - 1A Serotonergic; 2C serotonergic;
antiserotonin-2A; antiserotonin-3 (claimed).

USE - For treatment of sexual dysfunction, e.g. male **erectile**
dysfunction, impotence, sexual arousal disorder, inhibited female
orgasm and to increase the **libido** or sexual performance of a
patient (claimed).

ADVANTAGE - Avoids the side effects of prior art treatments such as
schizophrenia. . .

L6 ANSWER 33 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2000-171066 [15] WPIDS

DNC C2000-053187

TI Use of new and known piperazine derivatives of substituted acetic acids for
modulating sexual activity.

DC B02 B03

IN BEATCH, G N; CHOI, L S L P D; HAYES, E S; ZOLOTROY, A B

PA (BEAT-I) BEATCH G N; (CHOI-I) CHOI L S L P D; (HAYE-I) HAYES E S; (NORT-N)
NORTRAN PHARM INC; (ZOLO-I) ZOLOTROY A B

CYC 86

PI WO 2000002550 A2 20000120 (200015)* EN 73

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL
OA PT SD SE SL SZ UG ZW

W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB

GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU
LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR
TT UA UG US UZ VN YU ZA ZW

AU 9949811 A 20000201 (200028)

ADT WO 2000002550 A2 WO 1999-US15571 19990708; AU 9949811 A AU 1999-49811
19990708

FDT AU 9949811 A Based on WO 2000002550

PRAI US 1998-92097P 19980708

AB . . .

7-13C aralkyl.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - For treating sexual dysfunction e.g. male **erectile dysfunction** or impotence, increasing **libido** of a male or female, enhancing sexual performance e.g. providing a pro-erectile response, improving erectile function, improving ejaculation and inducing.

. . .

L6 ANSWER 34 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN

AN 1999-120495 [10] WPIDS

DNC C1999-035188

TI Use of aroyl-piperazine derivatives - for treatment of sexual dysfunction, for increasing libido and for improving sexual performance.

DC B03

IN HAYES, E S; ZOLOTAY, A B

PA (NORT-N) NORTRAN PHARM INC; (CARD-N) CARDIOME PHARMA CORP

CYC 82

PI WO 9902159 A1 19990121 (199910)* EN 74

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL
OA PT SD SE SZ UG ZW

W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE
GH GM HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK
MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US
UZ VN YU ZW

AU 9882033 A 19990208 (199924)

EP 1001776 A1 20000524 (200030) EN

R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

BR 9810554 A 20000815 (200045)

CN 1269719 A 20001011 (200103)

JP 2001509482 W 20010724 (200147) 84

KR 2001021610 A 20010315 (200159)

MX 2000000353 A1 20010701 (200236)

US 6399618 B1 20020604 (200242)

ADT WO 9902159 A1 WO 1998-CA662 19980709; AU 9882033 A AU 1998-82033 19980709;

EP 1001776 A1 EP 1998-931867 19980709; WO 1998-CA662 19980709; BR 9810554

A BR 1998-10554 19980709; WO 1998-CA662 19980709; CN 1269719 A CN

1998-807711 19980709; JP 2001509482 W WO 1998-CA662 19980709; JP

2000-501751 19980709; KR 2001021610 A KR 2000-700168 20000107; MX

2000000353 A1 MX 2000-353 20000107; US 6399618 B1 Provisional US

1997-52051P 19970709; US 1998-111684 19980708

FDT AU 9882033 A Based on WO 9902159; EP 1001776 A1 Based on WO 9902159; BR

9810554 A Based on WO 9902159; JP 2001509482 W Based on WO 9902159

PRAI US 1997-52051P 19970709; US 1998-111684 19980708

AB . . .

of formula (I) or their salts, solvates, isolated enantiomers, isolated diastereomers and/or isolated tautomers for treatment of sexual dysfunction, increasing **libido** and improving sexual performance, is new. Ar = 3-13C carbocyclic ring or a group of formula (II)-(VII); R7-R12 = Br, . . . bond, 1-6C alkylene or 1,2-disubstituted 5-6C cycloalkyl and R2 = 1-6C alkyl.

USE - (I) are used for treating male **erectile dysfunction** or impotence. In improving sexual performance, (I)

provides a pro-erectile response. (I) is formulated for oral or topical administration, for. . .

L6 ANSWER 35 OF 35 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
AN 1998-144266 [13] WPIDS
DNN N1998-114109 DNC C1998-047135
TI Safe non-staining topical composition for enhancing serum concentration of dehydro-epiandrosterone (DHEA) - comprises (fluorinated) DHEA and phospholipid(s) e.g. phosphatidyl-choline, useful for e.g. effecting weight loss, reducing cellulite and treating male erectile dysfunction.
DC B01 P32
IN ROSENBAUM, J; SUAREZ, G
PA (ROSE-I) ROSENBAUM J; (SUAR-I) SUAREZ G
CYC 1
PI US 5709878 A 19980120 (199813)* EN 8
ADT US 5709878 A US 1996-691244 19960802
PRAI US 1996-691244 19960802
AB . . .
in the treatment of e.g. weight loss, reduction of cellulite, reduction of wrinkles, reduction of malignancy, increased skin elasticity, increased **libido** in men and women, diminished male **erectile dysfunction**, improvements in systemic lupus erythematosus and seropositive rheumatoid arthritis, hair growth, enhanced memory capability, reduced levels of low-density lipoprotein cholesterol. . .